The in vitro antibacterial activity of the compounds were demonstrated by the agar incorporation method (NCCLS M 7 and M 100-S8 documents). Briefly, the compounds were dissolved in DMSO and doubling dilution of the compounds were incorporated into Meuller Hilton agar before solidification. Inoculum was prepared by suspending 4 to 5 colonies into 5 ml of normal saline solution and adjusting the turbidity to 0.5 Macfarland turbidity standard tables (1.5 x 10^8 CFU/ml), after appropriate dilutions, 10^4 CFU/spot was transfered into the surface of dried plate and incubated for 18 hours (24 hours for MRSN studies). The concentration showing no growth of the inoculated culture was recorded as the MIC. Appropriate ATCC standard strains were simultaneously tested and result recorded only when the MIC's against standard antibiotics were within the acceptable range.

The compounds of the present invention represented by general Formula I may be prepared by the method of reaction in Scheme I. Key intermediate amines of Formula V for the analogue preparation were prepared by the synthetic procedures described below from commercially available reagents. The compounds of Formula I were made by either Method A, B, or C.

Amines already known in the literature are given by reference and if they have been made by a different procedures they are described in detail.

Mainly five different amines of Formula V identified as five different cores namely

(S)-N-[[3-[3-Fluoro-4-(N-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide (core I),

(S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]benzyl]-2-oxo-5-oxazolidinyl]methyl] acetamide (core II),

(S)-N-[[3-[3-Fluoro[4-[3-(1a,5a,6a)-6-[N-(5-nitro-2-furylmethyl)-N-methyl] amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]-acetamide (core III),

(S)-N-{3-[4-[4-N-methylaminopeperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl]methyl acetamide (core IV), and

(S)-N-[[3-[3[Fluoro-4-(N-1-homopiperazinyl)phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide (core V)

are shown in the examples given below.

Most of the compounds were characterized using NMR, IR and were purified by chromatography. Crude products were subjected to column chromatographic purification using silica gel (100-200 or 60-120 mesh) as stationery phase.

The examples mentioned below demonstrate the general synthetic procedure as well as the specific preparation for the preparation for the preferred compound. The examples are given to illustrate the details of the invention and should not be constrained to limit the scope of the present invention.

EXAMPLE 1

Analogues of *(S)-N-[[3-[3-Fluoro-4-(N-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide(core I)*

The heteroaromatic group with the corresponding appendage can be introduced on the nitrogen atom of ring C of compounds of Formula I by one of the methods described below:

Method-A:

General procedure:

Amine of structure of Formula V is reacted with a heteroaromatic compounds of Formula VI having corresponding R_{12} appendages such as $-CH_2R_{13}$, $-COR_{13}$ or $-CH(CH_3)R_{13}$ wherein R_{13} is a suitable leaving group well known to one of ordinary skill in the art such as fluoro, chloro, bromo, SCH_3, $-SO_2CH_3$, $-SO_2CF_3$ or OC_6H_5 etc..

The reaction is done in a suitable solvent such as dimethylformamide, dimethylacetamide, ethanol or ethylene glycol at a suitable temperature in the range of -78°C to 180°C to afford compounds of Formula II. The presence of a suitable base such as triethylamine, diisopropyl amine, potassium carbonate, sodium bicarbonate is useful in some cases to improve the yield of the reaction.

The following compounds were made following this method:

Compound No. 01 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-(2--furoyl) piperazinyl]]-phenyl]- 2-oxo-5-oxazolidinyl] methyl]acetamide

(S)-N-[[3-[3-Fluoro-4-(N-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide prepared by the method given in U.S. Patent No. 5,700,799 (1.2g, 3.57 mmol) was dissolved in dry dimethyl formamide (35 ml). To this was added K_2CO_3 (2.47g; 17.87 mmol) and furoyl chloride (0.56 g, 10.68 mmol). The reaction mixture was stirred at 25°C for 5.0 hr. TLC of the reaction mixture was monitored. A faster moving spot was observed. Solvent was removed and the residue was dissolved in dichloromethane, washed with water, dried over sodium sulphate, and solvent was removed. The residue was digested with ether and filtered to yield 800 mg of white

crystalline solid 225.5.-226.5°C

δppm ($CDCl_3$) : 7.50-7.44 (m, 2H), 7.09-7.06 (m, 2H), 6.95-6.89 (m, 1H) 6.50 (bs, 1H) 4.76 (bs, 1H), 4.05-3.19 (m, 9H), 3.09 (bs, 4H), 2.02 (s, 3H).

Compound No. 02: (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)methyl}] piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-chloromethyl 2-furfuraldehyde using Method A.

Compound No. 03: (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl- (5-carboxyethyl)methyl)-piperazinyl] phenyl]- 2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide ethyl-5-_chloromethyl)-2-furan-carboxylate using Method A.

Compound No. 04: (S)-N-[[3-Fluoro-4-[N-1[4-(5-bromo-2-furoyl)]piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-bromo-2-furoyl chloride using Method A.

Compound No. 05: (S)-N-[[3-Fluoro-4-[N-1[4-(5-chloromethyl-2-furoyl)piper-azinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-

phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-chloromethyl-2-furoyl chloride using Method A.

Compound No. 06: (S)-N-[[3-Fluoro-4-[N-1[4-(5-nitro-2-furoyl)piperazinyl]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-nitro -furoyl chloride using Method A.

Compound No. 07: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-(2-thienyl)dicarbonyl}]-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-thiophenglyoxylyl chloride using Method A.

δppm ($CDCl_3$): 7.84(m, 2H, Ar-H), 7.47(dd, 1H, Ar-H), 7.2(m,1H, Ar-H), 7.07(d, 1H, Ar-H), 6.92(t,1H, Ar-H), 5.98(t, 1H, NH), 4.76(m,1H, CH), 4.0(t, 1H, CH), 3.5-3.95 (m, 7H, CH_2), 3.15 (m, 2H, CH_2), 3.06 (m, 2H Cl_2), 2.02 (s, 3H, CH_3)

Compound No. 08: (S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furoyl)]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl] cetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 3-furoyl chloride using Method A.

δppm ($CDCl_3$) : 8.06(s, 1H, Ar-H), 7.49(m, 2H, Ar-H), 7.09(d,1H,Ar-H),

6.76(t, 1H, Ar-H), 6.57 (s,1H,Ar-H), 6.03(br s, 1H, NH), 4.77 (m, 1H, CH), 4.2-3.5(m, 8H, CH_2), 3.06(m,4H, CH_2), 2.02(s, 3H,CH_3)

Compound No. 09: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-bromo)methyl}]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-bromo-2-chloromethylfuran using Method A.

δppm ($CDCl_3$): 7.47 (d, 1H, Ar-H), 7.06 (d, 1H, Ar-H), 6.91 (t, 1H, Ar-H), 6.47 (d, 1H, Ar-H), 6.32 (d,1H, Ar-H), 5.98 (t, 1H, NH), 4.76 (m, 1H, CH), 4.02 (t, 1H, CH), 3.4-3.85 (m, 9H, CH2), 3.07 (m, 4H, CH_2), 2.02 (s, 3H, CH_3).

Compound No. 10: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-chloro)methyl}]-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-chloro-2-chloromethyl-thiophene using Method A.

δppm ($CDCl_3$) :7.42 (dd, 1H, Ar-H), 7.05 (dd, 1H, Ar-H), 6.92 (t, 1H, Ar-H), 6.74 (d, 2H, Ar-H), 6.00 (m,1H, CH), 4.74 (m, 1H, CH), 4.01 (t, 1H, CH), 3.3-3.8 (m,5H, CH_2), 3.08 (m, 4H, CH_2), 2.66 (m 4H, CH_2) 2.01 (s, 3H, CH_3).

Compound No. 11: (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-furylmethyl)]piperazinyl]-phenyl]2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-

phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-chloromethylfuran using Method A.

δppm ($CDCl_3$) :7.49 (m, 2H, Ar-H), 7.07 (d, 1H, Ar-H), 6.91 (t, 1H, Ar-H), 6.51 (d, 1H, Ar-H), 6.4 (d,1H, Ar-H), 6.1 (t, 1H, NH), 4.75 (m, 1H, CH), 4.1-3.25 (m,10H, CH_2), 3.06 (m, 4H, CH_2), 2.03 (s, 3H, CH_3).

Compound No. 12: (S)-N-[[3-[3-Fluoro-4-[N-1[4-(2-thienylmethyl)]piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-chloromethylthiophen using Method A.

δppm ($CDCl_3$): 7.4 (m, 1H, Ar-H), 6.94 (m, 5H, Ar-H), 6.08 (t, 1H, NH), 4.71 (m, 1H, CH), 4.1-3.4 (m, 6H, CH_2), 3.08 (m, 4H, CH_2), 2.73 (m,4H, CH_2), 1.98 (s, 3H, CH_3).

Compound No. 13: (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-thienylacetyl)]piperazinyl]-phenyl]2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-thiophenacetyl chloride using Method A.

δppm ($CDCl_3$): 7.45 (dd, 1H, Ar-H), 7.23 (d, 1H, Ar-H), 7.07 (d, 1H, Ar-H), 6.96 (m, 3H, Ar-H), 6.05 (t,1H, CH), 4.7 (m, 1H, CH), 2.75-4.1 (m, 10H, CH_2), 3.01 (m,4H, CH_2), 2.03 (s, 3H, CH_3).

Compound No. 14: (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(4-bromo)methyl}]-piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 4-bromo-2-chloromethyl-thiophen using Method A.

δppm ($CDCl_3$) :7.44 (dd, 1H, Ar-H), 7.2-6.8 (m, 4H, Ar-H), 5.98 (t, 1H, Ar-H), 4.76 (m, 1H, CH), 4.02 (t, 1H, CH), 3.85-3.35 (m,5H, CH_2), 3.1 (m, 4H, CH_2), 2.69 (m,4H, CH_2), 2.03 (s, 3H, CH_3).

Method B:

Compound No. 15: (S)-N-[[3-[3-fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

To a suspension of (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide (770 mg, 2.29 mmol) in dichloromethane or THF (40 ml) in a round bottom flask (100 ml) filled with guard tube, was added molecular sieves (4A) followed by 5-nitro-2-furfural (420 mg, 2.98 mmol). The reaction mixture was stirred at 25°C for 1.5 hr. Sodium triacetoxy borohydride (1.93 g, 9.10 mmol) was then added to the reaction mixture. The whole reaction mixture was allowed to stir overnight at 25°C. TLC of the reaction mixture showed a faster moving spot compared to piperazine derivative. The reaction mixture was filtered through a Buckner funnel. It was washed with dichloromethane. Organic layer was washed with water, dried over sodium sulphate and solvent was removed to give crude product which was then purified by silica gel column using 2% methanol in

chloroform as eluent to afford the title compound 417 mg of m.p. 104-105°C.

δppm ($CDCl_3$) : 7.48 (d, 1H), 7.34 (m, 1H), 7.12 (d, 1H), 6.98 (t, 1H), 6.56 (d, 1H), 6.07 (bs, 1H), 4.81 (m, 1H), 4.07 (t, 1H), 3.69-3.53 (m, 5H) 3.16 (bs, 4H), 2.78 (bs, 4H), 2.07 (s, 3H).

Compound No. 16: Hydrochlorid salt of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-nitro) methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

(S)-N-[[3-Fluoro-4-[N-1[4{2-furyl-(5-nitro)methyl}]piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide hydrochloride.

To an ethanolic solution of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl-(5-nitro)-methyl}]piperazinyl] phenyl]-2-oxo-5-oxazlidinyl]methyl]acetamide (365 mg, 0.75 mmol in 7 ml of absolute ethanol) was added 0.30 ml of HCl in ethanol (2.6 N, 0.75 mmol) in cold (5°C) condition. The whole reaction mixture was stirred at 5-10°C for 2.0 hr. No change in TLC was observed.

Solvent was removed. The residue was digested with dichloromethane and the solid was crystallized from methanol isopropyl alcohol mixture to give the desired compound in 111 mg of 97% pure by HPLC. Mass : 461.8 ($M+H^+$), 483.9 ($M+Na^+$)

Compound No. 17: Citrate salt of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-nitro)-methyl}]piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

Citrate salt of Compound No. 15 was made according to the method described for Compound No. 16 by using citric acid in molar proportions.

Compound No. 18: (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-pyrrolylmethyl)]piperazinyl]-

phenyl]2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-pyrrolecarboxaldehyde using Method B.

δppm ($CDCl_3$): 8.76(br s, 1H, NH), 7.38(d, 1H, Ar-H). 7.04(d,1H, Ar-H), 6.91(t,1H,Ar-H), 6.77(s,1H,Ar-H), 6.11(m,3H, Ar-H, NH), 4.75 (m, 1H, CH), 4.0(t,1H,CH), 3.8-3.5(m,5H, CH_2), 3.08(m,4H, CH2), 2.65(m,4H, CH2), 2.01(s,3H,CH3)

Compound No. 19: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(3-methyl)methyl}]-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 3-methyl-2-thiophencarboxaldehyde using Method B.

δppm ($CDCl_3$) : 7.4(d, 1H, Ar-H), 7.15(d,1H, Ar-H), 7.03(d, 1H,Ar-H), 6.92(t,1H, Ar-H), 6.79(d,1H,Ar-H), 6.07(t,1H, NH), 4.75(m, 1H, CH), 3.98(t,1H, CH), 3.55-3.95(m,6H, CH2), 3.09(m,4H, CH2), 2.69(m, 3H, CH2), 2.22(s, 3H, CH3), 2.01(s, 3H, CH3)

Compound No. 20: (S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furylmethyl)]piperazinyl]phenyl]2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 3-furaldehyde using Method B.

δppm ($CDCl_3$) : 7.42(m,3H, Ar-H), 7.04(d, 1H, Ar-H), 6.92(t,1H,Ar-H), 6.43(s,1H, Ar-H), 6.0(t, 1H, NH), 4.75(m,1H, CH), 4.01(t, 1H, CH), 3.8-3.5(m,3H,CH2), 3.47(s,2H,CH2), 3.1(m, 4H,CH2), 2.66 (m,4H, CH2), 2.01(s,3H, CH3)

Compound No. 21: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-methyl)methyl}]-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-methyl-2-thiophencarboxaldehyde using Method B.

δppm ($CDCl_3$) : 7.4(dd, 1H, Ar-H), 7.03(d, 1H, Ar-H), 6.92(t, 1H, Ar-H),6.71(d, 1H, Ar-H),6.58(d, 1H, Ar-H), 6.08(t, 1H, NH), 4.75(m,1H,CH), 3.98(t,1H,CH), 3.8-3.5(m,5H, CH2), 3.07(m, 4H, CH2), 2.65(m,4H,CH2), 2.45(s,3H, CH3), 2.01(s,3H,CH3)

Compound No. 22: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-pyrrole(1-methyl)methyl}]-piperazinyl] phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and N-methyl-2-pyrrolecarboxaldehyde using Method B.

δppm ($CDCl_3$) :7.36(d, 1H, Ar-H), 7.04(d, 1H, Ar-H), 6.9(t,1H,Ar-H), 6.6(s, 1H,Ar-H), 6.02(s, 3H, Ar-H, NH), 4.73(m, 1H, CH), 4.0(t, 1H, CH), 3.8-3.5(m,6H, CH2),3.49(s,2H, CH2), 3.02(m,4H, CH2), 2.58(m, 4H, CH2). 2.01(s, 3H, CH3)

Compound No. 23: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-nitro)methyl}]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-nitro-2-thiophencarboxaldehyde using Method B.

δppm ($CDCl_3$) :7.80 (d, 1H, Ar-H), 7.45 (dd, 1H, Ar-H), 7.05 (d, 1H, Ar-H), 6.91 (m, 2H, Ar-H), 6.07 (t,1H, NH), 4.76 (m, 1H, CH), 4.2-3.5 (m, 6H, CH_2), 3.11 (m, 4H, CH_2), 2.73 (m, 4H, CH_2), 2.02 (s, 3H, CH_3).

Compound No. 24: (S)-N[[3-[3-Fluoro-4-[N-1[4-[2-furyl{5-(N-thiomorpholinyl)-methyl}methyl]piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-(N-thiomorpholinymethyl)-2-furan- carboxaldehyde using Method B.

δppm ($CDCl_3$): 7.45 (d, 1H, Ar-H), 7.05 (d, 1H, Ar-H), 6.9 (t, 1H, Ar-H), 6.18 (d, 2H, Ar-H), 6.09 (m,1H, NH), 4.76 (m, 1H, CH), 4.02 (t, 1H, CH), 3.35-3.9 (m,7H, CH_2), 3.12 (m, 4H, CH_2), 2.75 (m, 11H, CH_2), 2.02 (s, 3H, CH_3).

Compound No. 25:(S)-N[[3-[3-Fluoro-4-[N-1[4-[2-furyl{5-(N-morpholinyl)-methyl}methyl]]piperazinyl] phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-(N-morpholinylmethyl)2-furancarboxaldehyde using Method B.

δppm ($CDCl_3$) :7.5-6.3 (m, 3H, Ar-H), 6.19 (d, 2H, Ar-H), 5.9 (m, 1H, NH), 4.7 (m, 1H, CH), 4.00 (t,1H, CH), 3.3-3.8 (m, 10H, CH_2), 3.09 (m, 4H, CH_2), 2.69 (m,4H, CH_2), 2.49 (m, 4H, CH_2), 2.01 (s, 3H, CH_3).

Compound No. 26: (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-acetoxymethyl)-methyl}]piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-(N-morpholinylmethyl)2-furylcarboxaldehyde using Method B.

δppm ($CDCl_3$) :7.42 (dd, 1H), 7.06 (dd, 1H), 6.95 (d, 1H), 6.35 (d, 1H), 6.22 (d s,2H), 5.04 (s, 2H), 4.02 (bs, 4H, CH_2), 3.74 (t, 1 H), 3.75- 3.6 (m, 3H), 3.64 (s, 3H) 3.10 (bs, 4 H) 2.70 (bs,4H), 2.06 (s, 3H), 2.02 (S, 3H).

Compound No. 27: (S)-N-[[3-Fluoro-4-[N-1[4-{2-thienyl(5-bromo)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5- acetoxy methyl –2- furan – carboxaldehyde by using Method A.

δppm ($CDCl_3$): 7.42 (dd, 1H, Ar-H), 7.04 (d, 1H, Ar-H), 6.88 (m, 2H, Ar-H), 6.69 (d, 1H, Ar-H), 6.00 (t,1H, NH), 4.76 (m, 1H, CH), 4.01 (t, 1H, CH), 3.4-3.8 (m,5H, CH_2), 3.07 (m, 4H, CH_2), 2.67 (m, 4H, CH_2).

Compound No. 28: (S)-N-[[3-Fluoro-4-[N-1[4-(5-nitro-2-furylmethyl)piperazinyl]phenyl]- 2-oxo-5-oxazolidinyl]methyl]dichloroacetamide

δppm ($CDCl_3$) : 7.41- 6.51(m, 6H), 5.96(s, 1H), 4.81(m,1H), 4.06(t, 1H), 3.77-3.66(m,5H), 3.11- 2.71(m,8H)

Method C:

Compound No. 29: (S)-N[[3-[3-Fluoro-4-[N-1[4-(5-nitro-2-thienoyl)]piperazinyl]-phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride

To (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]-methyl] acetamide (1.14 mmol) in DMF (10 mL) cooled to 5°C, 5-nitro-2-thienoic acid (0.16g, 0.95 mmol), N-methylmorpholine (0.12g, 1.14 mmol) and 1-hydroxybenzotriazole (0.17 g, 1 mmol) were added and the reaction mixture was stirred for 15 min. To it 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (0.18g, 0.95 mmol) was added and the reaction mixture was stirred for 18 hrs allowing it to warm to R.T. Then the reaction mixture was diluted with 25 mL water and extracted with EtOAc (3x25 mL). The combined organic layers were washed with brine, dried over anhydrous sodium sulfate and evaporated in vacuo. The residue was purified by column chromatography (3% MeOH/$CHCl_3$) to yield 0.19g of product. This product was dissolved in dichloromethane (5 mL) and cooled to 5 C. To it 1 mL of satd. ethanolic-HCl solution was added and stirred for 15 min. Then the reaction mixture was evaporated, co-evaporated with ether and dried in vacuo to yied 0.19 g of final product.

δppm (DMSO) :8.2 (t,1H, Ar-H), 8.1(m,1H,Ar-H), 7.5(m,2H, Ar-H), 7.17(d,1H, Ar-H), 7.09(t,1H,Ar-H), 4.7(m,1H, CH), 4.08(t,1h, CH), 3.73(m,6H,CH2), 3.05 (m, 5H, CH_2), 1.83(s, 3H, CH3).

Compound No. 30: (S)-N[[3-[3-Fluoro-4-[N-1[4-(2',2'- diphenyl-2' hydroxy acetyl)]piperazinyl]phenyl]- 2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-piperazinyl)-phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide and 2,2 –diphenyl –2-hydroxy acetic acid using Method C.

EXAMPLE 2

Analogues of (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-methyl] amino]-3-aza-bicyclo [3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide (Core II)

The heteroaromatic group with the corresponding appendage can be introduced on the nitrogen atom of ring C of compounds of Formula I by one of the methods described below:

Method A:

General procedure was same as described earlier (method A). Only the core amine of Formula V is (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-methyl]amino]-3-azabicyclo [3.1.0] hexane] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide here.

Compound No. 31:(S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(5-nitro-2-furoyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide

PREPARATION OF (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-methyl]amino]-3-azabicyclo [3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (core II)

(a) PREPARATION OF 3-Fluoro[4-[3-(1α,5α,6α)-6-[N-(tert butoxy carbonyl) amino]-3-azabicyclo- [3.1.0]hexane] nitrobenzene.

(1α, 5α, 6α)-6-Amino-3-azabicyclo [3.1.0] hexane (7.0 g, 0.03535 mol) was taken in CH_3CN (50 mL) and diisopropyl ethyl amine (4.5606 g, 0.03535 mol) was added followed by 3,4-difluoro nitrobenzene (5.6212 g, 0.03535 mol) and heated at 70°C for 4 hrs. The reaction was monitored by the disappearance of the starting material on the TLC (eluent $CHCl_3$: MeOH (19:1)). The reaction mixture was concentrated under vacuum, triturated with H_2O, filtered, washed with hexane and dried to obtain the title compound. Yield: 10 g

δppm ($CDCl_3$) : 7.94-6.50 (m, 3H), 4.80 (5, 1H) 3.95--3.63 (m, 4H), 2.43 (s, 1H), 1.92 (5, 2H), 1.47 (s. 9H).

(b) PREPARATION OF 3-Fluoro[4-[3-(1α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]-amino]-3-azabicyclo- [3.1.0]hexane]nitrobenzene

3-Fluoro[4-[3-(1α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-amino]-3-aza-bicyclo- [3.1.0] hexane] nitro benzene (10g, 0.029 mol) was taken in 60 ml THF at 0°C. Sodium hydride (1.06 g, 0.045 mol) was added portion-wise over 5 min. After complete addition the reaction mixture was stirred for 30 min. at 0°C. Methyl iodide (8.42 g, 0.059 mol) was then added over 10 min. at 0°C followed by tert n-butyl ammonium iodide (1g). The reaction mixture was stirred for 4 hrs. The reaction mixture was then concentrated under vacuum. H_2O (50 mL) was added followed by extraction with dichloromethane (3 x 50 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated to obtain the title compound. Yield:10.25 g

δppm (MeOD): 7.91-6.47 (m, 3H), 3.89-3.61 (m, 4H) 2.8 (s, 3H), 2.34 (s, 1H), 1.96 (s, 2H), 1.46 (5, 9H).

(c) PREPARATION OF 3-Fluoro [4-{3-(1α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl amino}-3-azabicyclo- [3.1.0] hexane] aniline.

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]-amino]-3-azabicyclo- [3.1.0]hexane]nitrobenzene (26 g, 0.074 mol) was taken in 75 mL THF and 75 mL MeOH. 10% Pd/C (dry) (3g) was added and the reaction mixture was shaken in a Parr hydrogenator at 40 psi for 3 hours. The reaction mixture was filtered through celite bed. The filtrate was concentrated to obtain the title compound. Yield: 21.2 g

δppm ($CDCl_3$) (MeOD): 6.55-6.33 (m, 3H), 3.54-3.00 (m, 4H) 2.87 (s, 3H), 2.55 (s, 1H), 1.96 (s, 2H) 1.40 (s, 9H).

(d) PREPARATION OF 3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo- [3.1.0]hexane]benzyloxy carbamate

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert-butoxy carbonyl)-N-methyl)amino]-3-azabicyclo [3.1.0] hexane] aniline (21g, 0.065 mol) was taken in THF (100 ml and cooled to $-15^{\circ}C$. Sodium bicarbonate (27.47 g, 0.32 mol) was added followed by benzyl chloroformate (14.5 g, 0.055 mol) which was added slowly over 30 min. After complete addition the stirring was combined for the maintaining the temperature between 0-5°C. The reaction was monitored by the disappearance of the reaction mixture on TLC (eluent $CHCl_3$: MeOH : 9:1). The reaction mixture was filtered and filtrate concentrated under vacuum. H_2O (20 ml) was added and extracted with CH_2Cl_2 (3x100 ml). The combined organic layer was dried over Na_2SO_4. This was filtered and the filtrate concentrated. The semisolid was triturated with MeOH. The solid was filtered to obtain the title compound.

δppm ($CDCl_3$) : 7.4:6.5 (m, 8H), 5.24 (s, 2H), 3.8-3.3(m, 4H), 2.92 (s, 3H), 2.61 (s, 1H), 1.90 (s, 2H), 1.54 (s, 9H, tBu).

(e) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-(N-(tert butoxy carbxy-N-methyl]amino]-3- azabicyclo [3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl alcohol.

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-(N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo [3.1.0]hexane]benzyloxy carbamate (21 g, 0.04615 mol) was taken in freshly distilled THF (200 mL). The system was thoroughly flushed with N_2. The temperature was then brought down to -78°C in acetone dry ice. n-BuLi (59.13 mL of 15% solution in hexane, 0.13846 mol) was added over 30 min. maintaining the temperature at -78°C. The stirring was continued for 2.5 hours at -78°C. R(-) Glycidyl butyrate was added in one go and stirred at -78°C for further 1.5 hours. The temperature was gradually increased to rt. and stirred over night. 20% aqueous solution of NH_4Cl (200ml) was then added gradually added over 10 min. After 30 min. stirring, the organic layer was separated. The aqueous layer was further extracted with EtOAc (3 x 75 ml). The combined organic was dried over Na_2SO_4, filtered and concentrated. The product was purified by silica gel column chromatography (100-200) eluent (2% MeOH: 98% $CHCl_3$) to yield 14 g.

δppm ($CDCl_3$) : 7.35-6.55 (m, 3H), 4.7 (m, 1H), 3.9-3.8 (m, 4H), 3.7-3.2 (m, 4H), 2.8 (s, 3H, N-CH_3), 2.5 (S, 1H), 1.8 (s, 2H), 1.47 (s, 9H).

(f) PREPARATION of (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] methyl methanesulfonate.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]methyl alcohol (16 g, 0.038 mol) was taken in 50 ml pyridine at 5-10°C and methane sulphonyl chloride (12.71 g, 0.14 mol) was added over 5 min. The stirring was continued for 4 hours. The progress of the reaction was monitored by the disappearance of the starting material on TLC (eluent 10% $CHCl_3$: 10% MeOH). The reaction mixture was filtered, filtrates concentrated under vacuum, washed with H_2O (50 ml) and extracted with CH_2Cl_2 (3 x 75 mL). The combined organic layer was dried over Na_2SO_4, filtered and filtrate concentrated. This was dried thoroughly under vacuum.

(g) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)– 6-[N-(tert butoxy carbonyl)-N-Methyl]amino]-3- azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]methyl azide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo [3.1.0] hexane]phenyl]-2-oxa-5-oxazolidinyl]methyl methane sulphonate (15 g, 0.03 mol) was taken in DMF (50 ml) and NaN_3 (9.76 g, 0.15 mol) was added and heated at 70°C for 4 hours. The progress of the reaction was monitored by the disappearance of the starting material on TLC. The reaction mixture was filtered. The filtrate was concentrated under vacuum. This was washed with H_2O and extracted EtOAc (3x75 ml). The combined organic layer was dried over Na_2SO_4, filtered and concentrated to obtain the title compound. Yield 11.5 g.

(h) PREPARATION OF (S)-N-[3-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl amine

(S)-N-[3-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl azide (11.3 g, 0.026 mol) was taken in 75 ml MeOH and 75 ml EtOAc and 10% Pd/C was added. The reaction mixture was shaken at 50 psi for 6 hrs. The progress of the reaction was monitored by the disappearance of the starting material on the TLC. The reaction mixture was filtered through a celite bed. The filtrate was concentrated. The product was triturated with diethyl ether. The solid was filtered, to obtain the title compound. Yield - 7.6 g.

(i) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino]-3- azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl amine (7.6g, 0.018 mol) was taken in pyridine (8 ml), CH_2Cl_2 (50 mL) and acetic anhydride (2.214 g, 0.0217 mol) at 0-10°C. The reaction mixture was stirred and the progress of the reaction was monitored by the disappearance of the starting material on the TLC eluent ($CHCl_3$: MeOH :: 9:1). The reaction mixture was concentrated under vacuum. The concentrate was washed with H_2O (50 mL) and extracted with CH_2Cl_2 (3x50 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated. This product was triturated with diethyl ether, filtered and dried to yield the little compound. Yield: 6.6 g.

δppm ($CDCl_3$) : 7.33-6.56 (m,3H), 6.19 (t, 1H), 4.73 (m, 1H), 3.98 (t, 1H), 3.77-3.2 (m, 7H) 2.8 (s, 3H), 2.52 (s, 1H), 2.0 (s, 3H), 1.96 (S, 2H), 1.48 (s, 9H).

(j) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3- azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide (1g) was taken in CH_2Cl_2 (50mL) at 0°C and CF_3COOH(10 mL) was added and stirred for 4h. The reaction mixture was concentrated under vacuum. The residue was dissolved in EtOAc and neutrallised with solid $NaHCO_3$. The EtOAc layer was filtered and the filterate was concentrated to obtain the title compound.

Compound No. 31: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-nitro-2-furoyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 5-nitro-furoyl chloride using Method A.

δppm ($CDCl_3$) : 7.7-60 (m, 6H), 4.74 (m, 1H), 4.0-2.9 (m, 11H), 2.43 (s, 2H), 2.01 (s, 3H), 1.62 (s, 1H), 1.91 (s, 2H)

Compound No. 32: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(3-furoyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 3-furoic acid using method A.

Compound No. 71: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(2-thiopheneacetyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 2-thiopheneacetyl chloride using Method A.

Compound No. 72: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-formyl-2-furylmethyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 5-formyl-2-furylmethyl chloride using Method A.

Compound No. 73: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(3-thienoyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 3- chlorothienoyl chloride using Method A.

Compound No. 33: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-bromo -2-furoyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 5-bromo 2—furoyl chloride using Method A.

Method B:

General procedure was same as described earlier in section 7.1.1.2. (Method B) described earlier for Compound No. 15. Only the core amine of Formula V is (S)-N-[[3-[3-Fluoro[4-[3-(1α,5α,6α)-6-[N-methyl]amino]-3-azabicyclo[3.1.0]hexane]-phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide here.

Compound No. 34: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-nitro-2-thienylmethyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 5-nitro-thiophene-2-carboxyaldehyde using Method B.

Compound No. 35: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-nitro-2-furylmethyl)-N-methyl]amino]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 5-nitro-furan-2-carboxyaldehyde using Method B.

***Analogues of* (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino methyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide (core III).**

The heteroaromatic group with the corresponding appendage can be introduced on the nitrogen atom of ring C of compounds of Formula I by one of the methods described below:

Method A:

General procedure was same as described earlier in Method A described earlier for Compound No. 01. Only the core amine of Formula V is (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino methyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide (core III).

Compound No. 36: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-formyl-2-furylmethyl)-N-methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

(a) PREPARATION OF 3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)- aminomethyl]-3-azabicyclo- [3.1.0]hexane] nitrobenzene.

(1 α, 5 α, 6 α)-6-Aminomethyl-3-azabicyclo [3.1.0] hexane (7.0 g, 0.03535 moles) was taken in CH_3CN 50 mL and diisopropyl ethyl amine (4.5606 g, 0.03535 mol) was added followed by 3,4-difluoro nitrobenzene (5.6212 g, 0.03535 mol) and

heated at 70°C for 4 hrs. The reaction was monitored by the disappearance of the starting material on the (eluent $CHCl_3$ (19): MeOH (1). The reaction mixture was concentrated under vacuum, triturated with H_2O, filtered, washed with hexane and dried to obtain the title compound.

(b) PREPARATION OF 3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]-aminomethyl]-3-azabicyclo- [3.1.0]hexane]nitro-benzene

3-Fluoro [4-[3-(1 α, 5 α, 6 α)-6-[N-(test butoxy carbonyl)-N-methyl]-aminomethyl]-3- azabicyclo- [3.1.0]hexane] nitrobenzene (10g, 0.029) was taken in 60 ml THF at 0°C. Sodium hydride (1.06 g, 0.045 mol) was added portion-wise over 5 min. after complete addition the reaction mixture was stirred for 30 min. at 0°C. Methyl iodide (8.42 g, 0.059 mol) was then added over 10 min. at 0°C followed by tat n-butyl ammonium iodide (1g). The reaction mixture was stirred for 4 hrs.. The reaction mixture was then concentrated under vacuum. H_2O (50 mL) was added followed by extraction with CH_2Cl_2 (3 x 50 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated to obtain the title compound.

(c) PREPARATION OF 3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-Nethyl] -aminomethyl] -3-azabicyclo- [3.1.0]hexane]aniline

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]-aminomethyl] -3-azabicyclo- [3.1.0]hexane]nitro benzene (26 g, 0.074 mol) was taken in 75 mL THF and 75 mL MeOH 10% Pd/C dry (3g) was added and the reaction mixture was shaken in a parr hydrogenator at 40psi for 3 hours. The reaction mixture

was filtered through celite led. The filtrate was concentrated to obtain the title compound.

(d) PREPARATION OF 3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxycarbonyl)-N-methyl]amino]-3-azabicycl-[3.1.0]hexane]benzyloxy carbamate

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert-butoxy carbonyl)-N-methyl)amino-methyl]-3-azabicyclo [3.1.0] hexane] aniline (21g, 0.065 mol) was taken in THF (100 ml and cooled to -15°C. Sodium bicarbonate (27.47 g, 0.32 mol) was added followed by benzyl chloroformate (14.5 g, 0.055 mol) which was added slowly over 30 min. after complete addition the stirring was combined for the maintaining the temperature between 0-5°C. The reaction was monitored by the disappearance of the reaction mixture on TLC (eluent $CHCl_3$: MeOH : 9:1). The reaction mixture was filtered and filtrate concentrated under vacuum. H_2O (20 ml) was added and extracted with CH2Cl2 (3x100 ml). The combined organic layer was dried over Na_2SO_4. This was filtered, filtrate concentrated. The semisolid was triturated with MeOH. The solid was filtered to obtain the title compound.

(e) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-N-(tert butoxy carboxy-N-methyl]amino methyl]-3- azabicyclo [3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl alcohol.

3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-(N-(tert butoxy carbonyl)-N-methyl]amino-methyl]-3-azabicyclo [3.1.0]hexane]benzyloxy carbamate (21 g, 0.04615 mol) was taken in freshly distilled THF (200 mL). The system was thoroughly flushed with N_2. The temperature was then brought down to -78°C in acetone dry ice. n-BuLi (59.13 mL of 15% solution in hexane, 0.13846 mol) was added over 30 min. maintaining the

temperature at -78°C. The stirring was continued for 2.5 hours at -78°C. R(-) Glycidyl butyrate was added in one go and stirred at -78°C for further 1.5 hours. The temperature was gradually increased to rt. and stirred over night. 20% Solution of NH_4Cl (200ml) was then added gradually added over 10 min. after 30 min. stirring, the organic layer was separated. The aqueous layer was further extracted with EtOAc (3 x 75 ml). The combined organic was dried over Na_2SO_4, filtered and concentrated. The product was purified by silica gel column chromatography (100-200) eluent (2% MeOH: 98% $CHCl_3$) to yield 14 g.

(f) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)- [N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3- azabicyclo[3.1.0]hexane]-phenyl]-2-oxa-5-oxazolidinyl] methyl methanesulfonate.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]methyl alcohol (16 g, 0.038 mol) was taken in 50 ml pyridine at 5-10°C and methane sulphonyl chloride (12.71 g, 0.14 mol) was added over 5 min. The stirring was continued for 4 hours. The progress of the reaction was monitored by the disappearance of the starting material on TLC (eluent 10% $CHCl_3$: 10% MeOH). The reaction mixture was filtered, concentrated under vacuum, washed with H_2O (50 ml) and extracted with CH_2Cl_2 (3 x 75 mL). The combined organic layer was dried over Na_2SO_4, filtered and filtrate concentrated. This was dried thoroughly under vacuum.

(g) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino methyl]-3- azabicyclo[3.1.0]hexane]-phenyl]-2-oxa-5-oxazolidinyl]methyl azide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)- [N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]methyl methane sulphonate (15 g, 0.03 mol) was taken in DMF (50 ml) and NaN_3 (9.76 g, 0.15 mol) was added and heated at 70°C for 4 hours. The progress of the reaction was monitored by the disappearance of the starting material on TLC. The reaction mixture was filtered. The filtrate was concentrated under vacuum. This was washed with H_2O and extracted EtOAc (3x75 ml). The combined organic layer was dried over Na_2SO_4, filtered and concentrated to obtain the title compound. Yield: 11.5 g.

(h) PREPARATION OF (S)-N-[3-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]-phenyl]-2-oxo-5-oxazolidinyl] methyl amine

(S)-N-[3-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl azide (11.3 g, 0.026 mol) was taken in 75 ml MeOH and 75 ml EtOAc and 10% Pd/C was added. The reaction mixture was shaken at 50 psi for 6 hrs. The progress of the reaction was monitored by the disappearance of the starting material on the TLC. The reaction mixture was filtered through a celite bed. The filtrate was concentrated. The product was triturated with diethyl ether. The solid was filtered, to obtain the title compound. Yield - 7.6 g.

(i) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino methyl]-3- azabicyclo[3.1.0]hexane]-phenyl]-2-oxa-5-oxazolidinyl] acetamide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl amine (7.6g, 0.018 mol) was taken in pyridine (8 ml), CH_2Cl_2 (50 mL) and acetic anhydride (2.214 g, 0.0217 mol) at 0-10°C. The reaction mixture was stirred and the progress of the reaction was monitored by the disappearance of the starting material on the TLC eluent ($CHCl_3$: MeOH :: 9:1). The reaction mixture was concentrated under vacuum. The reaction mixture was washed with H_2O (50 mL) and extracted with CH_2Cl_2 (3x50 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated. This product was triturated with diethyl ether, filtered and dried to yield the little compound. Yield - 6.6 g.

(j) PREPARATION OF (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-methyl]aminomethyl]-3- azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide.

(S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-(tert butoxy carbonyl)-N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide (1g) was taken in CH_2Cl_2 (50mL) at 0°C and CF_3COOH(10 mL) was added and stirred for 4h. The reaction mixture was concentrated under vacuum. The residue was dissolved in EtOAc and neutrallised with solid $NaHCO_3$. The EtOAc layer was filtered and the filterate was concentrated to obtain the title compound.

(S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-formyl-2-furylmethyl)-N-methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide and 5-formyl-2-furylmethylene chloride using Method A.

Compound No. 37: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(5-carboxyethyl-2-furylmethyl)-N-methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl] methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide and ethyl –5-(chloromethyl)-2-furan carboxylate using Method A.

Compound No. 38: (S)-N-[[3-[3-Fluoro[4-[3-(1α, 5α, 6α)-6-[N-(2-thiophene-acetyl)-N-methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]amino]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl]acetamide and 2-thiopheneacetyl chloride using Method A.

Method-B:

General procedure was same as described earlier in Method A for the preparation of Compound No. 15. Only the core amine of Formula V is (S)-N-[3-[3-

Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]aminomethyl]-3-azabicyclo[3.1.0] hexane]phenyl]- 2-oxa-5-oxazolidinyl] acetamide (core III)

Compound No. 39: (S)-N-[[3-[3-Fluoro[4-[3-(1α,5 α,6 α)-6-[N-(5-nitro-2-thienyl-methyl)-N-methyl]aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide and 5-nitro thiophene-2-carboxyaldehyde using Method B.

Compound No. 40: (S)-N-[[3-[3-Fluoro[4-[3-(1 α,5 α,6 α)-6-[N-(5-nitro-2-furyl-methyl)-N-methyl] aminomethyl]-3-azabicyclo-[3.1.0]hexane]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[3-[3-Fluoro[4-[3-(1 α, 5 α, 6 α)-6-[N-Methyl]aminomethyl]-3-azabicyclo[3.1.0]hexane]phenyl]-2-oxa-5-oxazolidinyl] acetamide and 5-nitro-furan-2-carboxyaldehyde using Method B.

Example 4

Analogues of (S)-N-{3-[4-[4-N-methyl amino peperidin-1-yl]-3-fluoro-phenyl}-2-oxo-oxazolidin-5-yl]methyl acetamide (core IV).

The heteroaromatic group with the corresponding appendage can be introduced on the nitrogen atom of ring C of compounds of Formula I by one of the methods described below:

Method-A:

General procedure was same as described earlier (Method A) for Compound No. 1. Only the amine of Formula V is (S)-N-{3-[4-[4-N-methyl amino piperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl]methyl acetamide (core IV).

Compound No. 74:Preparation of (S)-N-[[3-[4-[4-(N-methyl-N-2furyl(5-formyl)-methylaminopiperidine-1-yl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl]methyl]-acetamide.

Preparation of (S)-N-{3-[4-[4-N-methyl amino piperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl]methyl acetamide (core IV)

(a) 1-[4(N-t-Butyloxycarbonylamino)piperidin-1-yl]-3-fluoro]-nitrobenzene

To a solution of difluoronitrobenzene (40g; 200 mmol) in acetonitrile (400 ml) was treated with ethyldiisopropyl amine (28.4 g; 219.72 mmol) and 4-(t-butyloxycarbonyl) amino piperidine (31.8g; 199 mmol). The whole reaction mixture was then heated at 60°C for 6.0 hr. The solution was cooled to ambient temperature and conc. in vacuo. The residue was dissolved in ethyl acetate and washed with water. Ethyl acetate layer was dried over anhydrous sodium sulphate. Solvent was removed to afford a yellow solid (60g).

δppm ($CDCl_3$) : 7.98-7.80 (m, 2H), 6.91 (t, J=9Hz, 1H) 4.53 (bs, 1H), 3.65 (d,J=12Hz, 3H) 2.98 (t, J=13Hz, 2H), 2.07 (m, 2H), 1.69-1.53 (m, 3H), 1.52 (s, 9H).

(b) 1-[4-(N-t-Butyloxy carbonyl N methyl)aminopiperidin-1-yl]-3-fluoro] nitrobenzene (B)

To a solution of intermediate A (89 mmol) in dry tetrahydrofuran (400 ml) was added sodium hydride (60%, 106 mmol) in cold condition (0°C) followed by tetrabutyl ammonium iodide (10 mmol). The reaction mixture was stirred at cold to r.t. for 2.0 hr. Methyl iodide (267 mmol) was then added at 0°C. Reaction mixture was stirred at r.t. for 12 hr. A faster moving spot was appeared. Excess sodium hydride was decomposed with water. Tetrahydrofuran was removed. The residue was dissolved in ethyl acetate, washed with water, brine and then with water. Organic layer was dried over anhydrous sodium sulphate and solvent was removed. A yellow solid (32g) was obtained.

δppm ($CDCl_3$) : 6.81 (t, J=12Hz, 1H) 6.44-6.37 (m, 2H), 4.70 (bs, 1H) 2.91 (d, J=12H, 2H), 2.78 (s, 3H), 2.72-2.65 (m, 2H), 1.47 (s, 9H).

(c) 1-[4-[(N-t-butyloxycarbonyl-N-methyl)amino-piperidin-1-yl]-fluoro]aniline (C)

A mixture of nitro compound **B**, (32.0g), 3.2 g of 10% palladium on carbon in 75 ml of methanol was shaken in a Paar shaker flask under 40 Psi hydrogen for 6.0 hr. TLC showed a slower moving spot. The reaction mixture was filtered through celite. Solvent was removed. A dark solid was obtained (28.6 g), it was subjected to next step without further characterisation.

(d) 1-{N-Carbobenzyloxy-[4-[(N-t-butyloxy carbonyl-N-methyl)-peperidin-1-yl]}-3-fluoro] aniline (D)

To the solution of aniline derivative C (19.0 g, 58.823 mmol) in dry tetrahydrofur an (150 ml) was added. Sodium hydrogen carbonate (19.76 g, 235.29 mmol). It was cooled to O°C and benzyl chloroformate (12.9 ml, 50% toluene sol.) was added. The whole reaction mixture was stirred at O°C-r.t. for 6.0 hr. TLC showed faster moving spot compare to aniline derivative. Reaction mixture was filtered through celite. Solvent removed. Residue was digested with hexane and solvent was removed to give 23.4g of CBz derivative.

δppm ($CDCl_3$) : 7.39-7.28 (m,6H), 6.99-6.86 (m,2H), 6.75 (bs, 1H), 5.20 (s, 2H), 4.20 (bs, 1H), 3.43 (d, J=12Hz, 2H), 2.79 (s, 3H), 2.71 (m, 2H), 1.97-1.86 (m, 2H), 1.49 (s, 9H)

(e) (S)-N-{3-[4-[4-(N-methyl-N-t-butyloxy carbonyl)amino-piperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl]methanol (E)

To a solution (200 ml) of CBz derivative in (**D**; 24.0g, 52.516 mmol) dry tetrahydrofuran was added. BuLi (67 ml, 157 mmol) at -78°C under N_2. The reaction mixture was stirred at -78°C for 2.0 hr. Glycidyl butyrate (9.07g, 62.98 mmol)was then added to the reaction mixture at -78°C. It was stirred at -78°C for 1 hr. then allowed to reach r.t. TLC of the reaction mixture showed a slower moving spot. Ammonium chloride (30ml) was added to the reaction mixture. It was stirred for 5 min. Ammonium chloride layer was separated and extracted with ethyl acetate. Tetrahydrofuran and ethyl acetate layer were combined, dried over anhydrous sodium sulphate. Solvent removed. The residue was purified by column chromatography using $CHCl_3$: MeOH (1.5%-2.5%)as eluent to give 10g of desired alcohol.

δppm ($CDCl_3$) : 7.46 (d, J=8.0 Hz, 1H), 7.10 (d, J=9Hz, 1H), 6.94 (t, J=9Hz, 1H) 4.55 (bs, 1H), 4.07-3.87 (m, 5H), 3.74 (bs, 1H), 3.46 (bs, 1H), 3.42 (bs, 1H), 2.78-2.89 (m, 5H), 1.96-1.85 (m, 2H), 1.72 (s, 1H), 1.47 (s, 9H).

(f) (S)-N-{3-[4-[4-(N-Methyl-N-t-butyloxy carbonyl)aminopiperidin-1-yl)-3-fluorophenyl}-2-oxo-oxazolidine-5-yl}methyl methane sulfonate (F)

To a solution of hydroxymethyl compounds (**E**, 24g, 56.73 mmol) in dichloromethane (400 ml) was added triethylamine (11.46 g, 113.46 mmol) followed by methane sulphonyl chloride at O°C. The reaction mixture was stirred at O°C - r.t. for 3.0 hr. TLC of the reaction mixture showed a faster moving spot. The reaction mixture was poured in to water and extracted with dichloromethane, washed with saturated sodium bicarbonate solution and then with water. Organic layer was dried over anhydrous sodium sulphate and solvent was removed to give 28.4g of compound (**F**).

δppm ($CDCl_3$) : 7.45 (d, J=12Hz, 1H), 7.10-7.01 (m, 2H), 4.92 (bs, 1H), 4.53-4.40 (m, 2H),4.12(t,J=9Hz,1H),7.10-7.01 (m, 2H), 4.12 (t, J=9Hz, 1H), 3.94-3.89 (m, 1H), 3.48 (d, J=12Hz, 2H), 3.15 (m, 1H), 3.11 (s, 3H) 2.79 (s, 3H), 1.97-193 (m, 2H), 1.77-1.69 (m, 4H), 1.48 (s, 9H).

(g) (S)-N-{3-[4-[4-(N-Methyl-N-t-butyloxy carbonyl)aminopiperidin-1-yl)-3-fluorophenyl]-3-fluorophenyl]-2-oxo-oxazolidin-5-yl}methyl azide (G)

To the solution of mesyl derivative (**F**, 28.4 g, 56.68 mmol) in dimethyl formamide (350 ml) was added sodium azide (11.059, 70.05 mmol). The whole reaction mixture was heated at 80°C for 9.0 hr. TLC showed a faster moving spot.

Reaction mixture was filtered. Dimethyl formamide was removed in reduced pressure. The residue was digested in hexan to afford desired azide in 26.0 g.

δppm ($CDCl_3$) : 7.44 (d, 12Hz, 1H), 7.11 (bs, 1H), 6.97 (t, j=9Hz, 1H) 4.78 (bs, 1H), 4.09-3.49 (m, 7H), 2.90 (s, 3H), 2.75 (bs, 2H) 1.49 (s, 9H).

(h) (S)-N-{3-[4-[4-(N-Methyl-N-t-butyloxy carbonyl)aminopiperidin-1-yl)-3-fluorophenyl]-2-oxo-oxazolidin-5-yl} methyl amine (H).

To the solution of azido compound (**G**, 25.5g, 56.92 mmol) in methanol (50 ml) was added, 10% Pd/c (2.5 g). The whole reaction mixture was hydrgogenated for 10 hr. at 40 Psi. TLC showed a slower moving spot. It was filtered through celite bed and solvent was removed to give desired product of 24.5 g.

δppm ($CDCl_3$) : : 7.45 (d, J=12Hz, 1H), 7.11 (d, J=9Hz, 1H), 6.94 (t, J=9Hz, 1H) 4.66 (bs, 1H), 4.00 (t, J=9Hz, 1H), 3.81 (t, J=9Hz, 1H), 3.45 (d,J=9Hz, 2H) 3.10-2.90 (m, 1H), 2.78 (3 3H), 2.73 (bs, 1H), 1.48 (s, 9H).

(i) (S)-N-{3-[4-[4-(N-Methyl, N-1-butyloxy carbonyl) amino piperidin-1-yl)-3-fluorophenyl]-2-oxo-oxazolidin-5-yl}methyl acetamide.(I)

To a solution of methyl amino derivative (7.0g, 16.58 mmol) in dichloro methane (120 ml) was added triethyl amine (2.18g; 21.58 mmol) reaction mixture was cooled to 0°C and acetic anhydride was added slowly. It was stirred at 0°-r.t. for 5.0 hr. TLC showed a faster moving spot. Reaction mixture was poured into water and extracted with dichloromethane. Organic layer was washed with sodium bicarbonate, brine and water. Organic layer was dried over anhydrous sodium sulphate and solvent was removed to give 7.1 g of crude desired product which on purification gave 4.1 g of pure product.

δppm ($CDCl_3$) :7.43 (d, J=12Hz, 1H), 7.07 (d, J=9Hz, 1H), 6.95 (t, J=9Hz, 1H) 6.28 (bs, 1H), 4.00 (t, J=9Hz, 1H), 3.78-3.62 (m, 3H), 3.47 (d,J=9Hz, 2H) 2.80 (s, 3H), 2.75-2.71 (m 2H), 2.03 (s, 3H), 1.49 (s, 9H).

(j) (S)-N-[3-[4-[4-N-methyl)amino piperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl] methyl acetamide.(J)

To a solution of Boc protected compound (**I**, 2.0 g, 4.31 mmol) in dichloromethane (35 ml) was added trifluoroacetic acid (5 ml) at O°C. The whole reaction mixture was stirred at O° r.t. for 3 hr. TLC of the reaction mixture showed a slower moving spot. Solvent was removed and the residue was dissolved in acetone, anhydrous pot. Carbonate was added to neutralize trifluoro acetic acid. It was stirred at r.t. for 2.0 min. then filtered through a Buckner funnel. Solvent was removed and the title compound was obtained. Yield: 1.5g

Compound No. 41: (S)-N-[[3-[4-[4-(N-methyl-N-2furyl(5formyl)methyl-aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide

The title compound was made by using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5-chloromethyl –2- furfural following Method A.

Compound No. 42: (S)-N-[[3-[4-[4-(N-methyl-N-(3,5-difluorobenzoyl)amino-piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 3,5, difluoro benzoyl chloride following Method A.

Compound No. 43: (S)-N-[[3-[4-[4-(N-methyl-N-(5-bromo-2-furoyl)amino-piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]acetamide

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5-bromo-2-furoyl chloride following Method A.

Compound No. 44: (S)-N-[[3-[4-[4-(N-methyl-N-(5-nitro-2-furoyl)amino-piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5-nitro-2-furoyl chloride following Method A.

Compound No. 45: (S)-N-[[3-[4-[4-(N-methyl-N—3-furoyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 3-furoyl chloride using Method A.

Compound No. 46: (S)-N-{3-[4-[4-(N-methyl, N- 2-furoyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 2-furoyl chloride following Method A.

Compound No. 47:(S)-N-{3-[4-[4-(N-methyl,2-thiopheneacetyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 2-thiophene acetylchloride chloride following Method A.

Method-B:

General procedure was same as described earlier in section (Method B) for Compound No.15, only the amine of Formula V is (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide (core IV).

Compound No. 48:(S)-N-[[3-[4-[4-(N-methyl-N-2furylmethyl) aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and furan-2-carboxaldehyde following Method B.

Compound No. 49: (S)-N-[[3-[4-[4-(N-methyl-N-3-furyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and furan-3-carboxaldehyde following METHOD B.

Compound No. 50: (S)-N-[[3-[4-[4-(N-methyl-N-2-furyl(5-nitro)methyl)-aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5- nitro furan –2- carboxaldehyde using Method B.

δppm ($CDCl_3$) : 7.40(d, 1H), 7.29 (m, 1H), 7.29 (m, 1H), 7.05 (dd, 1H), 6.92 (t, 1H), 6.48 (d, 1H), 6.26 (bs, 1H), 4.76 (bs, 1H), 4.01 (t, 1H), 3.77-3.60 (m, 5H), 3.47 (d, 2H), 2.66 (t, 3H), 6.26 (bs, 1H), 4.76 (bs, 1H), 4.01 (t, 1H), 3.77-3.60 (m, 5H), 3.47 (d, 2H), 2.66 (t, 3H), 6.26 (bs, 1H), 4.76 (bs, 1H), 4.01 (t, 1H), 3.77-3.60 (m, 5H), 3.47 (d, 2H), 2.66 (5, 3H), 2.37 (s, 3H), 2.01 (s, 3H0, 1.93-1.25 (m, 4H).

Compound No. 51: (S)-N-[[3-[4-[4-(N-methyl-N-2-thienyl(5-nitro)methyl)-aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5- nitro thiophen-2-carboxaldehyde following Method B.

δppm ($CDCl_3$) : 7.79 (d, 1H), 7.41 (dd, 1H), 7.05 (d, 1H) 6.93 (t, 1H),6.85 (d, 1H), 6.11 (bs, 1H), 4.01 (t, 1H) 3.82-3.45 (m, 7H), 2.66 (m, 3H), 2.37 (s, 3H), 2.02 (s, 3H) 1.82-1.25 (m, 4H)

Compound No. 52: (S)-N-[[3-[4-[4-(N-methyl-N-2-thienylmethyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and thiophen-2-carboxaldehyde following Method B.

Compound No. 53: (S)-N-[[3-[4-[4-(N-methyl-N-(5-methyl-2-thienyl-methyl)aminopiperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl] methyl]-acetamide

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5-methyl-thiophen-2- carboxaldehyde following Method B.

Compound No. 54: (S)-N-{3-[4-[4-(N-methyl,2-(5-bromo)thienylmethyl)amino-piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl methyl]acetamide.

The title compound was made using (S)-N-[[3-[4-[4-(N-methyl-)amino piperidine-1-yl]-3-fluorophenyl]-2oxo-oxazolidin-5-yl]methyl]acetamide and 5-bromo,-thiophen-2- carboxaldehyde Method B.

Example 5

Analogues of of (S)-N-[[3-[3[Fluoro-4-(N-1-homopiperazinyl)phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide (Core V)

The heteroaromatic group with the corresponding appendage can be introduced on the nitrogen atom of ring C of compounds of Formula I by one of the methods described below:

Method-A:

General procedure was same as described earlier in section 7.1.1.1 (Method A) described earlier for Compound No. 1. Only the core amine of Formula V is (S)-N-

{3-[4-[4-N-methylaminopeperidin-1-yl]-3-fluorophenyl}-2-oxo-oxazolidin-5-yl]-methyl acetamide (core V).

Compound No. 55: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5- formyl)methyl}]-homopiperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

Preparation of (S)-N-[[3-[3[Fluoro-4-(N-1-homopiperazinyl)phenyl]-2-oxo-5-oxazolidinyl] methyl] acetamide

(a) Preparation of 1-(2-Fluoro-4-nitrophenyl)homopiperazine.

To homopiperazine (5g, 0.05 mol) in acetonitrile (30 mL), 3.4-difluoronitrobenzene (3.17 g, 0.02 mol) was added and the reaction mixture was heated to reflux for 4 hrs. Then the solvent was evaporated and the residue taken in EtOAc and washed with water and brine solution. The EtOAc layer was dried over anhyd Na_2SO_4 and evaporated in *vacuo*. The residue was digested with ether-hexane (1:20), decanted and dried in *vacuo* to get 3.7g of final product.

δppm ($CDCl_3$): 7.9 (m, 2H, Ar-H), 6.75 (t, 1H, Ar-H) 3.64 (m, 4H, CH_2), 3.08 (m, 2H, CH_2), 2.91 (m, 2H, CH_2), 1.96 (m, 2H, CH_2).

(b) Preparation of 1-(2-Fluoro-4-nitrophenyl)-4-*tert*-butoxycarbonyl-homopiperazine.

To 1-(2-Fluoro-4-nitrophenyl)homopiperazine (3.5 g, 14.6 mmol) in dichloro-methane (100 mL) cooled to 5°C, triethylamine (0.2 mL, 1.46 mmole) and *tert*-butoxydicarbonate (4.15 g, 19.03 mmol) was added and the reaction mixture was stirred for 18 hrs. The solvent was evaporated and to the residue hexane was added. The product precipitating out was filtered, washed with hexane and dried in air to yield 4.0g of the final product.

δppm ($CDCl_3$): 7.93 (m, 2H, Ar-H), 6.78 (t, 1H, Ar-H), 3.63 (m, 6H, CH_2), 3.43 (m, 2H, CH_2), 1.97 (m, 2H, CH_2), 1.50 (s, 9H, t-Bu).

(c) 3-Fluoro-4-(N-*tert*-butoxycarbonylhomopiperazinyl)aniline.

To 1-(2-Fluoro-4-nitrophenyl)-4-*tert*-butoxycarbonylhomopiperazine (3.2g, 9.4 mmole) in methanol (30 mL), 10% palladium/carbon was added and shaken in a Parr hydrogenation apparatus under 40 psi of hydrogen gas for 3 hrs. Then the reaction mixture was filtered over celite and the filtrate evaporated in vacuum to yield 2.64 g of the final product.

δppm ($CDCl_3$) : 6.81 (t, 1H, Ar-H), 6.38 (m, 2H, Ar-H) 3.53 (m, 4H, CH_2) 3.21 (m, 4H, CH_2), 2.86 (br s, NH_2), 1.95 (m, 2H, CH_2), 1.45 (s, 9H, t-Bu).

(d) N-Benzyloxycarbonyl-3-fluoro-4-(N-*tert*-butoxylcarbonylhomo-piperazinyl) aniline.

To 3-Fluoro-4-(N-*tert*-butoxycarbonylhomopiperazinyl)aniline (2.6g, 8.4 mmol) in THF (25 ml) cooled to 5°C, sodium bicarbonate (0.85 g 10.1 mmol), was added and then benzylchloroformate (1.72g, 10 mmol) was added dropwise. The reaction mixture was stirred for 18 hrs. at R.T. and then filtered. The filtrate was evaporated in *vacuo*. The residue was dissolved in dichloromethane and washed with saturated sodium bicarbonate solution and brine water. The organic layer was dried over anhyd Na_2SO_4 and evaporated in *vacuo* to give 5.04 g of final product.

δppm ($CDCl_3$) : 7.35 (s, 6H, Ar-H), 6.84 (m, 2H, Ar-H), 6.54 (s, 1H, NH), 5.17 (s, 2H, CH_2), 3.2-3.61 (m, 8H, CH_2), 1.93 (m, 2H, CH_2), 1.45 (s, 9H, t-Bu).

(e) (R)- [N-3-[3-Fluoro-4-[N-1-(4-*tert*-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methanol

To N-benzyloxycarbonyl-3-fluoro-4-(N-*tert*-butoxycarbonylhomopiperazinyl)aniline (2.5 g, 5.6 mmol) dissolved in dry THF(25 mL), cooled to –78°C, butyl lithium(4.8 mL, 15% sol. in hexane, 11.3 mmol) was added under +ve pressure of nitrogen. The reaction mixture was stirred at -78°C for 1.5 hrs. Then R-glycidyl butyrate (0.89 g, 6.2 mmol) was added and the reaction mixture was stirred at -78°C for 1hr and then at R.T. for 18 hrs. To it 25 mL of satd ammonium chloride solution was added and the reaction mixture extracted with EtOAc. The combined organic layers were washed with water and brine water, dried over anhydrous Na_2SO_4 and evaporated in *vacuo*. The crude product (~3g) was purified by column chromatography (3% MeOH/$CHCl_3$) to yield 0.41 g of final product.

δppm ($CDCl_3$) : 7.38 (d, 1H, ArH), 7.04 (d, 1H, Ar-H), 6.87 (t, 1H, Ar-H), 4.72 (m, 1H, CH), 4.1-3.2 (m, 11H, CH_2), 2.18 (br s, 1H), 1.94 (m, 2H, CH_2), 1.45 (s, 9H, t-Bu).

(f) (R)-[N-3[3-Fluoro-4-[N-1-(4-tert-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl] methyl methanesulfonate.

To the (R)-[N-3[3-Fluoro-4-[N-1-(4-*tert*-butoxycarbonyl)homopiperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]methanol (1.55 g, 3.8 mmol) in dichloromethane (10 mL) cooled to 5°C, triethylamine (0.76 g, 7.6 mmol) and methanesulfonylchloride (0.6 g, 5.3 mmoles) were added and the reaction mixture was stirred for 1 hr. Then the reaction mixture was diluted with dichloromethane and washed with saturated sodium bicarbonate solution and brine. The organic layer was dried over anhydrous sodium sulfate and evaporated in *vacuo* to yield 1.39 of product.

δppm ($CDCl_3$) : 7.32 (d, 1H, ArH), 7.02 (d, 1H, Ar-H), 6.87 (t, 1H, Ar-H), 4.89 (m, 1H, CH), 4.47 (m, 2H, CH_2), 4.09 (t, 1H, CH), 3.89 (m, 1H, CH), 3.65-3.2 (m, 8H,CH_2), 3.1 (s, 3H, CH_3), 1.94 (m, 2H, CH_2), 1.45 (s, 9H, t-Bu).

(g) (R)-[N-3[3-Fluoro-4-[N-1-(4-*tert*-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methylazide.

To (R)-[N-3[3-Fluoro-4-[N-1-(4-*tert*-butoxycarbonyl)homopiperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]methyl methanesulfonate compound (1.21 g, 2.5 mmoles) in DMF(10 mL), sodium azide (0.81g, 12 mmoles) was added and the reaction mixture heated to 80°C for 5 hrs. The solid was filtered off and the filterate evaporated in *vacuo*. The residue was dissolved in chloroform and washed with water and brine solution. The organic layer was dried over anhyd. Na_2SO_4 and evaporated in *vacuo* to yield 1.2 g of the product.

δppm ($CDCl_3$): 7.32 (d, 1H, Ar-H), 7.04 (d, 1H, Ar-H), 6.87 (t, 1H, Ar-H), 4.75 (m, 1H, CH), 4.02 (t,1H, CH), 3.8-3.2(m, 1H, CH_2), 1.92 (M, 2H, CH_2), 1.45 (s, 9H, t-Bu).

(h) (R)-[N-3-[3-Fluoro-4-[N-1-(4-*tert*-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methylamine.

To (R)-[N-3[3-Fluoro-4-[N-1-(4-tert-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methylazide (1.1 g, 2.5 mmol) in methanol (10 mL), 10% palladium/carbon (0.22 g) was added and the reaction mixture shaken in a Parr hydrogenation apparatus under 40 psi hydrogen pressure for 5 hrs. The reaction was filtered over celite and the filterate evaporated in vacuo to yield 0.9g of product. The

product was used as such in next step without further purification and characterization.

(i) (S)-N-[[3-[3-Fluoro-4-[N-1-(4-tert-butoxycarbonyl)homopiperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide.

To (R)-[N-3-[3-Fluoro-4-[N-1-(4-tert-butoxycarbonyl)homopiperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methylamine (0.77 g, 1.9 mmol) in dichloromethane (10 mL), triethylamine (0.21 g, 2.17 mmol) and acetic anhydride (0.21 g, 2 mmol) were added and the reaction mixture was stirred at R.T. for 30 minutes. Then the reaction mixture was diluted with dichloromethane and washed with saturated sodium bicarbonate solution and brine water. The organic layer was dried over anhydrous sodium sulfate and evaporated in vacuo. The residue was purified by column chromatography (2% MeOH/$CHCl_3$) to yield 0.48g of final product.

δppm ($CDCl_3$): 7.35(d, 1H, Ar-H), 7.02(d,1H, Ar-H), 6.86(t, 1H, Ar-H), 5.96(t, 1H, NH), 4.73(m, 1H, CH), 3.99(t, 1H, CH), 3.25-3.8(m, 1H, CH2), 2.01(s, 3H. CH3), 1.95(m, 2H, CH2), 1.44(s, 9H, t-Bu).

(j) (S)-N-[[3-[3[Fluoro-4-(N-1-homopiperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide

To (S)-N-[[3-[3-Fluoro-4-[N-1-(4-tert-butoxycarbonyl)homopiperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide (0.5g, 1.11 mmol) in dichloromethane (8 mL), trifluoroacetic acid (2 mL) was added and stirred for 2 hrs. Then the reaction mixture was evaporated and dried in vacuo. To the residue in acetone (10 mL), potassium carbonate (0.78 g, 5.55 mmol) was added and stirred for 15 mts. Then the reaction mixture was fitered and the filterate evaporated in vacuo to yield the product

in quantitative yield. This product was used as such in next step without further characterization.

Compound No. 55: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)methyl}]homo-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-homo-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 2-formyl-5-chloro-methylfuran using Method A.

δppm ($CDCl_3$) : 9.61(s,1H,CHO), 7.35(d,1H,Ar-H), 7.2(d, 1H, Ar-H), 7.02(d, 1H, Ar-H), 6.83(t, 1H, Ar-H), 6.48(s, 1H, Ar-H), 5.96(t, 1H,NH), 4.72(m, !H, CH), 4.71(t, 1H, Ar-H), 4.14 (s, 1H, CH_2), 3.2-3.8(m., 7H, CH_2), 2.8-3(m,4H, CH_2), 2.09(m, 5H, CH_2, CH_3)

Compound No. 56: (S)-N[[3-[3-Fluoro-4-[N-1[4-(2-thienylacetyl)]homopiperazin-yl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-homo-piperazinyl)phenyl]-2-oxo -5-oxazolidinyl]methyl]acetamide and 2-thiophenacetyl-chloride using Method A.

δppm ($CDCl_3$) : 7.34(m, 1H, Ar-H), 7.18(t, 1H, Ar-H), 7.2-6.78(m, 4H, Ar-H), 6.22(t,1H, NH), 4.74(m,1H,CH), 4.2-3.52(m,10H,CH_2), 3.52-3.15(m, 4H, CH_2), 2.01(m, 5H, CH_2, CH_3)

Compound No. 57: (S)-N[[3-[3-Fluoro-4-[N-1[4-{2-thienyl(5-nitro)methyl}]homo-piperazinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-homopiper-azinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl acetamide and 5-nitro –2-thiophencarboxaldehyde using Method B.

δppm ($CDCl_3$) : 7.78(s, 1H, Ar-H), 7.35(d, 1H, Ar-H), 7.04(m,1H, Ar-H), 6.87(m, 2H, Ar-H), 5.99(t, 1H, Ar-H), 4.75(m, 1H, CH), 4.0(t, 1H, CH), 3.85(s, 2H, CH_2), 3.52-3.8(m, 3H, CH_2), 3.42(m,4H, CH_2), 2.9-2.75(m, 4H, CH_2), 2.01(m, 5H, CH_2, CH_3)

Compound No. 58: (S)-N[[3-[3-Fluoro-4-[N-1[4-(3-furylmethyl)]homopiper-azinyl]phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was made with (S)-N-[[3-[3[Fluoro-4-(N-1-homopiper-azinyl)phenyl]-2-oxo -5-oxazolidinyl]methyl]acetamide and 3-furaldehyde using Method B.

δppm (MeOD) :7.71 (s,1H, Ar-H), 7.59(s, 1H, Ar-H), 7.45(d, 1H, Ar-H), 7.12(d, 1H, Ar-H), 7.01(t, 1H, Ar-H), 6.6(s,1H,Ar-H), 4.53(m, 8H, CH2), 4.1(m,2H,CH2), 3.77(t, 1H, CH), 3.75-3.45(m,5H, CH2), 2.19(m,2H, CH2),1.96(s, 3H, CH3)

SCHEME-II

Compound No. 59: Preparation of (S)-N-[[3-[3-fluoro-4-[N-1{2-furyl-[4-(5-difluoromethyl) methyl}]piperazinyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide.

To a solution of (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide (80 mg, 0.18 mmol) in dichloromethane (4.0 ml) was added diethylamino sulfurtrifluoride (58 mg, 0.35 mmol). The whole reaction mixture was stirred at r.t. for 12 hr. TLC of the reaction mixture showed a faster moving spot. It was poured into water and extracted with dichloromethane. Dichloromethane layer was washed with water, dried over anhydrous sodium sulphate. Solvent was removed. A gummy compound (60 mg) was obtained.

δppm ($CDCl_3$) :7.44 (d, 1H), 7.05 (d, 1H), 6.92 (t, 1H) 6.62 (m, 2H), 6.36 (m, 1H), 6.12 (bs, 1H), 4.60 (bs, 1H), 3.24-2.95(m,6H), 2.74), 2.74 (bs, 4H) 4.01 (m, 1H) 3.68 (m, 3H), 2.00 (s, 3H).

Compound No. 74: Preparation of (S)-N-[[3-[3-fluoro-4-[N-1{2-furyl-[4-(5-fluoromethyl) methyl}]piperazinyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide.

The title compound was made by reacting from (S)-N-[[3-[3-Fluoro-4-[N-1{2-furyl-[4-(5-hydroxymethyl)methyl}]piperazinyl]-2-oxo-5-oxazolidinyl]methyl]acetamide using the procedure described for Compound No. 59.

Compound No. 60: (S)-N-[[3-[3-Fluoro-4-[N-1-[4-(2-furyl-(5-aldoxime)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.

To a solution of 5-formyl furyl derivative (140 mg 0.31 mmol) in dry pyridine was added hydroxylamine hydrochloride (26 mg, 0.38 mmol). The whole reaction mixture was stirred at 25°C for 4.0 hr. TLC of the reaction mixture was monitored. A slower moving spot was observed compare to starting compound. Pyridine was removed under reduced pressure and traces of pyridine were removed with toluene to yield title compound of 140 mg.

δppm ^{1}HNMR (DMSO-d^6): 8.70(d,2H),8.08-8.03(m,1H),7.65-7.61 (m,1H), 7.78 (d,1H), 7.24 7.11 (m,2H), 4.70 (d,1H) 4.49 (s,2H), 4.07 (t,1H), 1.82 (s,3H), 3.72 (m, 2H), 3.53-2.88 (m, 9H).

Compound No. 61: (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-aldoxime(methyl-4-(N-carboxyaminophenylacetate) methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

The title compound was prepared by using the procedure mentioned above for Compound No.60.

Compound No. 62: (S)-N-[[3-[3-Fluoro-4[N-1-[4-{2-furyl-(5-hydrazone)-methyl}]-piperazinyl]-phenyl]-2-oxo-5-oxazolidinyl]-methyl]acetamide

To a solution of 5-formyl furyl derivative (140 mg, 0.31 mmol) in ethanol (4.0 ml) was added hydrazine hydrate (100mg) and catalytic amount of conc. sulfuric acid. The whole reaction mixture was stirred at 25°C for 48 hr. TLC of the reaction

mixture showed no changes. Stirring was continued for another 12 hr. no change in TLC was observed.

Solvent was evaporated to dryness and the solid residue was digested with ether to give 100 mg of title compound of m.p. 78-181°C.

δppm ($CDCl_3$):δ=7.61 (s,1H), 7.42 (dd,1H), 7.04 (t,1H), 6.92 (t,1H), 6.44 (d,1H), 6.28 (bs,2H), 5.60 (bs,2H), 4.77 (bs,1H), 4.02 (t,1H), 3.77-3.61 (m,8H), 3.10 (bs,1H), 2.71 (bs,1H), 2.02 (s,3H).

Compound No. 63: Preparation of (S)-N-[[3-[3-Fluoro-4-[N-1{2-furyl-[4-(5-hydroxymethyl)methyl}] piperazinyl]-2-oxo-5-oxazolidinyl]methyl]acetamide

To a solution 5-formyl-2-derivative (100 mg, 0.22 mmol) in ethanol was added Sodium borohydride (solid, 17 mg, 0.44 mmol). The whole reaction mixture was stirred at 25°C for 60 hr. TLC of the reaction mixture in chloroform : methanol (9:1) showed a slower moving spot. The solvent was removed under reduced pressure. The residue was dissoved in chloroform and washed with water, dried over anhydrous sodium sulphate and solvent was removed to give title compound in 70 mg as gum.

δppm ($CDCl_3$) : 745 (d,1H), 7.06 (d,1H), 6.94 (d, 1H), 6.23 (dd,1H), 6.00 (bs,1H), 4.70 (bs, 1H), 4.03 (t, 1H), 3.12 (bs, 4H), 2.69 (bs, 4H), 4.62 (s, 2H), 3.76-3.4 (m, 6H), 2.03 (s, 3H).

Compound No. 64: (S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-cyano)methyl}] piperazinyl]phenyl] -2-oxo-5-oxazolidinyl]methyl]acetamide

(S)-N-[[3-[3-Fluoro-4-[N-1[4-{2-furyl(5-aldoxime)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (6126, 3.5g,0.76 mmol) was taken in CH_2Cl_2 (5 mL) and triethyl amine(1.5g, 1.5 mmol) was added and the r.m. was maintained at -78°C. Triflic anhydride (4.3g, 1.5 mmol) in CH_2Cl_2 (2 mL) was added dropwise after complete addition, the temperature of the reaction mixture was allowed to rise to r.t. in 2 hrs. The r.m. is concentrated under vacuum. H_2O (10 mL) was added and extracted with CH_2Cl_2 (3x10 mL). The combined organic layer was dried over Na_2SO_4, filtered and concentrated to obtain the title compound.

NMR(CDCl3); 7.44-6.10(m, 6H), 4.74(m,1H), 4.00(t, 2H), 3.73-3.62(m,5H), 3.09-2.68 (m, 8H,), 2.01(s,3H)

Compound No. 65: (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-carboxy)methyl}]-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide in a solution of freshly prepared Ag_2O and stirring for 30 min. The r.m. was filtered, acidified to pH 5 and extracted with EtOAc, dried over Na2SO4, filtered and concentrated.

δppm ($CDCl_3$+ MeOD) 8.01-7.03 (m, 5H), 4.81 (m, 1H), 4.07 (t, 1H), 3.8-3.3v (m, 5H), 3.0(s,4H), 2.7 (s, 4H) 2.01(s,3H).

Compound No. 66: (S)-N-[[3-Fluoro-4-[N-1[5-(1,3-dioxane)-2-furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made using (S)-N-[[3-Fluoro-4-[N-1[4-{2-furyl(5-formyl)methyl}]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide with 1,3-propane diol and BF_3 etherate using standard literature procedures.

Compound No. 67: (S)-N-[[3-Fluoro-4-[N-1[5-(formamido)-2-furylmethyl]-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl-(5-carboxyethyl)methyl)piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide with aqueous ammonia solution followed by wet extraction with ethyl acetate.

δppm ($CDCl_3$+ DMSO-d6) 7.46-6.37 (m, 6H), 4.7 (m, 1H), 4.0-3.4 (m, 5H), 2.9 (s, 4H), 2.4 (s,4H), 2.01 (s, 3H).

Compound No. 68: (S)-N-[[3-Fluoro-4-[N-1[5-(morpholine-1-carbonyl)-2-furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made by reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl-(5-carboxyethyl)methyl)piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide with morpholine using standard literature procedure.

Compound No. 69: (S)-N-[[3-Fluoro-4-[N-1[5-(4-(tert butoxy carbonyl)amino piperidine)-2-furylmethyl]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide

The title compound was made by reacting (S)-N-[[3-Fluoro-4-[N-1[4-(2-furyl-(5-carboxy)methyl)piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide with thionyl chloride and 4-(tert butoxy carbonyl)amino piperidine.

While the present invention has been described in terms of its specific embodiments, certain modifications and equivalents will be apparent to those skilled in the art and are intended to be included within the scope of the present invention.